



87534-3000.txt  
SEQUENCE LISTING

<110> Hornik, Vered

<120> CONFORMATIONALLY CONSTRAINED BACKBONE CYCLIZED SOMATOSTATIN ANALOGS

<130> 87534-3000

<140> 09/734,583

<141> 2000-12-13

<160> 10

<170> PatentIn version 3.1

<210> 1

<211> 14

<212> PRT

<213> mammalian

<400> 1

Ala Gly Cys Lys Asn Phe Phe Trp Lys Thr Phe Thr Ser Cys  
1 5 10

<210> 2

<211> 6

<212> PRT

<213> Artificial sequence

<220>

<221> DISULFIDE BRIDGE

<222> (1)..(1)

<223> Cys residues at amino acid positions and 6 form a disulfide bridge

&lt;220&gt;

&lt;221&gt; MOD\_RES

&lt;222&gt; (3)..(3)

&lt;223&gt; The Trp residue is the D isomer

&lt;220&gt;

&lt;223&gt; Synthetic peptide

&lt;400&gt; 2

Cys Phe Trp Lys Thr Cys  
1 5

&lt;210&gt; 3

&lt;211&gt; 6

&lt;212&gt; PRT

&lt;213&gt; Artificial peptide

&lt;220&gt;

&lt;221&gt; MOD\_RES

&lt;222&gt; (1)..(1)

&lt;223&gt; N-Methyl

&lt;220&gt;

&lt;221&gt; MOD\_RES

&lt;222&gt; (1)..(6)

&lt;223&gt; cyclo

&lt;220&gt;

&lt;221&gt; MOD\_RES

&lt;222&gt; (3)..(3)

&lt;223&gt; The Trp residue is the D isomer

&lt;220&gt;

&lt;223&gt; Synthetic peptide

&lt;400&gt; 3

Ala Tyr Trp Lys Val Phe  
1 5

&lt;210&gt; 4

<211> 8

<212> PRT

<213> Artificial Sequence

<220>

<221> MOD\_RES

<222> (1)..(1)

<223> The Phe residue is a D isomer

<220>

<221> MOD\_RES

<222> (8)..(8)

<223> The Thr residue ends with CH<sub>2</sub>OH

<220>

<221> DISULFIDE BRIDGE

<222> (2)..(2)

<223> A disulfide bridge is formed between Cys residues 2 and 7

<220>

<221> MOD\_RES

<222> (4)..(4)

<223> The Trp residue is a D isomer

<220>

<223> Synthetic peptide

<400> 4

Phe Cys Phe Trp Lys Thr Cys Thr  
1 5

<210> 5

<211> 7

<212> PRT

<213> Artificial Sequence

<220>

<221> DISULFIDE

<222> (2)..(2)  
 <223> A Disulfide Bridge is formed between the Cys residues at position  
           2 and 6  
 <220>  
 <221> MOD\_RES  
 <222> (1)..(1)  
 <223> The Phe residue is a D isomer  
 <220>  
 <221> MOD\_RES  
 <222> (4)..(4)  
 <223> The Trp residue is a D isomer  
 <220>  
 <221> MOD\_RES  
 <222> (7)..(7)  
 <223> The Thr resiude ends with N2H  
 <220>  
 <223> Synthetic peptide  
  
 <400> 5  
 Phe Cys Phe Trp Lys Cys Thr  
 1                  5  
  
 <210> 6  
 <211> 8  
 <212> PRT  
 <213> Artificial sequence  
  
 <220>  
 <221> MISC\_FEATURE  
 <222> (1)..(1)  
 <223> is a gamma amino butyric acid, diamino butyric acid, Gly, beta-Al  
           a, 5-amino pentanoic acid or amino hexanoic acid; Residue 1 is  
           bridged to Residue 8; Residue 1 also begins with a hydrogen, or a  
           mono- or di- saccharide attached  
 <220>  
 <221> MISC\_FEATURE  
 <222> (2)..(2)

<223> is (D) or (L) Phe or Tyr  
 <220>  
 <221> MISC\_FEATURE  
 <222> (3)..(3)  
 <223> is (D) or (L)-Trp, or (L)-Phe, (D)- or (L)-1NaI or (D) or (L)-2NaI, or Tyr  
 <220>  
 <221> MISC\_FEATURE  
 <222> (4)..(4)  
 <223> is (D) or (L)-Trp  
 <220>  
 <221> MISC\_FEATURE  
 <222> (5)..(5)  
 <223> is (D) or (L)-Lys  
 <220>  
 <221> MISC\_FEATURE  
 <222> (6)..(6)  
 <223> is Thr, Gly, Abu, Ser, Cys, Val, (D) or (L)-Ala, or (D)- or (L)-Ala, or Tyr  
 <220>  
 <221> MISC\_FEATURE  
 <222> (7)..(7)  
 <223> is (D) or (L)-Phe, or (D)- or (L)-Ala, Nle, or Cys  
 <220>  
 <221> MISC\_FEATURE  
 <222> (8)..(8)  
 <223> is Gly, Val, Leu, (D) or (L)-Phe, or 1NaI or 2NaI; with a terminal carboxy acid, amide or alcohol group  
 <220>  
 <223> Synthetic peptide

<400> 6

Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa  
 1 5

<210> 7  
 <211> 7  
 <212> PRT  
 <213> Artificial Sequence  
  
 <220>  
 <221> MISC\_FEATURE  
 <222> (1)..(1)  
 <223> is (D)- or (L)-Phe, or (D)- or (L)-Ala; wherein Residue 1 is  
 bridged to Residue 6 a bridging group composed of 1 to 5 methyl  
 spacers connected to an amide, thioether, thioester, or disulfide,  
 followed by 1 to 5 methyl spacers  
  
 <220>  
 <221> MISC\_FEATURE  
 <222> (2)..(2)  
 <223> is Tyr or (D)- or (L)-Phe  
 <220>  
 <221> MISC\_FEATURE  
 <222> (3)..(3)  
 <223> is (D)- or (L)-Trp, (D)- or (L)-1Na1, or (D)- or (L)-2Na1  
  
 <220>  
 <221> MISC\_FEATURE  
 <222> (5)..(5)  
 <223> is Thr, Val, Ser, or Cys  
 <220>  
 <221> MISC\_FEATURE  
 <222> (6)..(6)  
 <223> is Gly or (D)- or (L)-Phe  
 <220>  
 <221> MISC\_FEATURE  
 <222> (7)..(7)  
 <223> is Thr, GABA, (D)- or (L)-1Na1, (D)- or (L)-2Na1, or (D)- or  
 (L)-Phe  
 <220>  
 <223> Synthetic peptide

<400> 7

Xaa Xaa Xaa Lys Xaa Xaa Xaa  
1 5

<210> 8

<211> 9

<212> PRT

<213> Artificial Sequence

<220>

<221> MISC\_FEATURE

<222> (1)..(1)

<223> is absent or is any amino acid

<220>

<221> MISC\_FEATURE

<222> (2)..(2)

<223> is absent or is any amino acid

<220>

<221> MISC\_FEATURE

<222> (3)..(3)

<223> is absent or is any amino acid

<220>

<221> MISC\_FEATURE

<222> (4)..(4)

<223> is absent or is any amino acid

<220>

<221> MISC\_FEATURE

<222> (5)..(5)

<223> is 1NaI, 2NaI, Beta-Asp (Ind), Gly, Tyr, (D)- or (L)-Ala, or  
(D)- or (L)-Phe

<220>

<221> MISC\_FEATURE

<222> (6)..(7)

<223> may be absent, or are independently Gly, Tyr, 1Na1, 2Na1,  
Beta-Asp (Ind), Gly, Tyr, (D)- or (L)-Ala, or (D)- or (L)-Phe

<220>

<221> MISC\_FEATURE

<222> (8)..(8)

<223> (D)- or (L)-Trp

<220>

<221> MISC\_FEATURE

<222> (9)..(9)

<223> (D)- or (L)-Lys

<220>

<221> MISC\_FEATURE

<222> (10)..(10)

<223> is absent or is Gly, Abu, Cys, Thr, Val, (D)- or (L)-Ala, or  
(D)- or (L)-Phe

<220>

<221> MISC\_FEATURE

<222> (11)..(11)

<223> is Cys, (D)- or (L)-Ala, or (D)- or (L)-Phe

<220>

<221> MISC\_FEATURE

<222> (12)..(12)

<223> is absent or is val, Thr, 1Na1 or 2Na1

<220>

<223> Synthetic peptide

<400> 8

Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa  
1 5 10

<210> 9

<211> 7

<212> PRT

<213> Artificial Sequence



&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (1)..(1)

<223> (D)- or (L)-Phe, Tyr or (D)- or (L)-Ala; Residue 1 is connected to Residue 7 by a bridge comprised of 1 to 5 methylene spacers connected to an amide, thioether, thioester, or disulfide, followed by 1 to 5 methylene spacers

&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (2)..(2)

&lt;223&gt; (D)- or (L)-Phe, Tyr or (D)- or (L)-Ala;

&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (3)..(3)

&lt;223&gt; is absent or is (D)- or (L)-Phe, Tyr or (D)- or (L)-Ala

&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (4)..(4)

&lt;223&gt; is (D)- or (L)Tyr

&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (5)..(5)

&lt;223&gt; is (D)- or (L)-Lys

&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (6)..(6)

&lt;223&gt; is absent or is Thr, Val, Cys or (D)- or (L)-Ala

&lt;220&gt;

&lt;221&gt; MISC\_FEATURE

&lt;222&gt; (7)..(7)

&lt;223&gt; is a (D)- or (L)-Phe, Cys, or (D)- or (L)-Ala

&lt;220&gt;

&lt;223&gt; synthetic peptide

&lt;400&gt; 9

Xaa Xaa Xaa Xaa Xaa Xaa Xaa  
 1 5

<210> 10

<211> 7

<212> PRT

<213> Artificial Sequence

<220>

<221> MISC\_FEATURE

<222> (1)..(1)

<223> is absent or is (D)- or (L)-Phe or Ala; the bridge is connected to Residue 1 or 2 and Residue 6 or 7, wherein the bridge is comprised of 1 to 5 methylene spacers connected to an amide, thioether, thioester, or disulfide, followed by 1 to 5 methylene spacers

<220>

<221> MISC\_FEATURE

<222> (2)..(2)

<223> is (D)- or (L)-Phe or Ala, Tyr

<220>

<221> MISC\_FEATURE

<222> (3)..(3)

<223> is (D)- or (L)-Trp

<220>

<221> MISC\_FEATURE

<222> (4)..(4)

<223> is (D)- or (L)-Lys

<220>

<221> MISC\_FEATURE

<222> (5)..(5)

<223> is Thr, Ala, Val, or Cys

<220>

<221> MISC\_FEATURE

<222> (6)..(6)

<223> is absent or is (D)- or (L)-Phe, Ala, or Cys

<220>

<221> MISC\_FEATURE

<222> (7)..(7)

<223> is absent or is Thr or Thr reduced to an alcohol

<220>

<223> Synthetic peptide

<400> 10

Xaa Xaa Xaa Xaa Xaa Xaa Xaa  
1 5